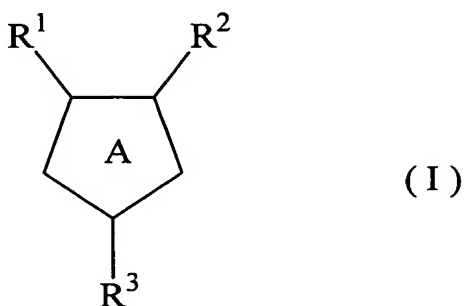


Abstract:

There are disclosed a large conductance calcium-activated K channel opener comprising a compound of the formula (I):



5

wherein ring A is a 5-membered heterocyclic ring containing any one of O, N or S, which ring may be substituted by R⁴,

10 R¹ is aryl, heterocyclic or heterocycle-substituted carbonyl; R² is hydrogen, halogen, carboxy, amino, alkyl, alkoxycarbonyl, alkenyl or cycloalkyl; R³ is aryl, heterocyclic or alkyl; and R⁴ is hydrogen or alkyl, each of substituents may be substituted,
15 or a pharmaceutically acceptable salt thereof as an active ingredient.



Rec'd PCT/PTO 14 APR 2005



10/531330

(43) International Publication Date
29 April 2004 (29.04.2004)

PCT

(10) International Publication Number
WO 2004/035570 A1

(51) International Patent Classification⁷: C07D 409/04,
405/04, 333/28, 333/24, 307/54, 207/337, 409/14, A61K
31/381, 31/341, 31/4025, A61P 13/00

330-0854 (JP). KOHNOMI, Shuntarou [JP/JP]; Tanabe
Seiyaku Toda-ryo 415, 3-8, Kawagishi 2-chome, Toda-shi,
Saitama 335-0015 (JP).

(21) International Application Number:
PCT/JP2003/013194

(74) Agent: TSUKUNI, Hajime; SVAX TS Bldg., 22-12,
Toranomon 1-chome, Minato-ku, Tokyo 105-0001 (JP).

(22) International Filing Date: 15 October 2003 (15.10.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
2002-300860 15 October 2002 (15.10.2002) JP
2003-104260 8 April 2003 (08.04.2003) JP

(71) Applicant (for all designated States except US): TAN-
ABE SEIYAKU CO., LTD. [JP/JP]; 2-10, Dosho-machi
3-chome, Chuo-ku, Osaka-shi, Osaka 541-8505 (JP).

(72) Inventors; and

(75) Inventors/Applicants (for US only): HOSAKA, Toshi-
hiro [JP/JP]; 2-7, Higashi-ueno 2-chome, Taitou-ku, Tokyo
110-0015 (JP). KUSAMA, Mari [JP/JP]; 24-6-105, Tsuji
2-chome, Minami-ku, Saitama-shi, Saitama 336-0026
(JP). OHBA, Kiyomi [JP/JP]; 17-17-301, Fukushima
5-chome, Fukushima-ku, Osaka-shi, Osaka 553-0003 (JP).
KONO, Rikako [JP/JP]; Towa City Coop 401, 180-1,
Sakuragi-cho 4-chome, Omiya-ku, Saitama-shi, Saitama

(81) Designated States (national): AE, AG, AL, AM, AT, AU,
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,
CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE,
GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT,
RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.

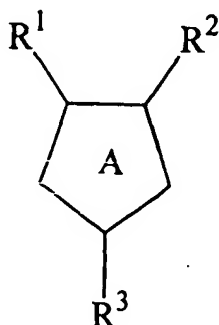
(84) Designated States (regional): ARIPO patent (GH, GM,
KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW),
Eurasian patent (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European patent (AT, BE, BG, CH, CY, CZ, DE, DK, EE,
ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO,
SE, SI, SK, TR), OAPI patent (BF, BJ, CF, CG, CI, CM,
GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

Published:

— with international search report

For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.

(54) Title: LARGE CONDUCTANCE CALCIUM-ACTIVATED K CHANNEL OPENER



(I)

(57) Abstract: There are disclosed a large conductance calcium-activated K channel opener comprising a compound of the formula (I): wherein ring A is a 5-membered heterocyclic ring containing any one of O, N or S, which ring may be substituted by R₄, R₁ is aryl, heterocyclic or heterocycle-substituted carbonyl; R₂ is hydrogen, halogen, carboxy, amino, alkyl, alkoxy-carbonyl, alkenyl or cycloalkyl; R₃ is aryl, heterocyclic or alkyl; and R₄ is hydrogen or alkyl, each of substituents may be substituted, or a pharmaceutically acceptable salt thereof as an active ingredient.

WO 2004/035570 A1